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Search Results - Record(s) 1 through 10 of 28 returned.

☐ 1. Document ID: JP 2002097132 A

L11: Entry 1 of 28 File: JPAB Apr 2, 2002

PUB-NO: JP02002097132A
DOCUMENT-IDENTIFIER: JP 2002097132 A
TITLE: DRY COATED TABLET OF CILOSTAZOL

PUBN-DATE: April 2, 2002

INVENTOR-INFORMATION:
NAME
TOMOHIRA, YUZO COUNTRY
KURIBAYASHI, DAISUKE
JINNO, JUNICHI
[illegible] KEIICHI
[illegible]OKAI, MASASHI

ASSIGNEE-INFORMATION:
NAME
OTSUKA PHARMACEUT CO LTD COUNTRY

APPL-NO: JP2000288023
APPL-DATE: September 22, 2000

INT-CL (IPC): A61 K 9/24; A61 K 31/4704; A61 K 47/38; A61 P 7/02; A61 P 9/10; A61 P 9/12; A61 P 11/06; A61 P 17/02; A61 P 29/00; A61 P 43/00

ABSTRACT:

PROBLEM TO BE SOLVED: To provide a new type preparation capable of not only controlling the maximum blood concentration of cilostazol, but also keeping the proper blood concentration thereof by sustainedly releasing a required amount for a long time.

SOLUTION: This cilostazol preparation is a dry coated tablet of the cilostazol consisting of (A) an inner core part containing the cilostazol and (B) an outer layer part comprising the cilostazol, a water-insoluble material and a hydrophilic hydrogel-forming material.

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Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw. Desc | Image

☐ 2. Document ID: JP 2001163769 A

L11: Entry 2 of 28 File: JPAB Jun 19, 2001

PUB-NO: JP02001163769A

DOCUMENT-IDENTIFIER: JP 2001163769 A
TITLE: CILOSTAZOL PREPARATION

PUBN-DATE: June 19, 2001

INVENTOR-INFORMATION:
NAME COUNTRY
MUKAI, MASASHI
TOMOHIRA, YUZO
TODA, MASABUMI
YAMADA, KEIGO
OKA, KEIICHI

2000 9mo } 21 mo
2001 12 mo }

3/2000 12
3/2000 12
3/2002 10
34 mo

ASSIGNEE-INFORMATION:
NAME COUNTRY
OTSUKA PHARMACEUT CO LTD

APPL-NO: JP2000288789
APPL-DATE: March 17, 2000

INT-CL (IPC): A61 K 9/10; A61 K 9/14; A61 K 9/52; A61 K 9/66; A61 K 31/41; A61 K 47/46; A61 P 1/04; A61 P 7/02; A61 P 9/10; A61 P 9/12; A61 P 11/06; A61 P 29/00; A61 P 43/00

ABSTRACT:

PROBLEM TO BE SOLVED: To obtain a new type cilostazol preparation in which the cilostazol commercially available as an antithrombotic or a cerebral circulation-improving agent is improved in the absorption from a lower area of digestive tract.

SOLUTION: A dispersant and/or a solubilizing agent are formulated to fine particles of cilostazol to give the objective slow-releasing cilostazol preparation that retains the ability to elute out the cilostazol even in the lower area of the digestive tract and includes fine particles of cilostazol with an average particle size of

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☐ 3. Document ID: JP 2000229953 A

L11: Entry 3 of 28 File: JPAB Aug 22, 2000

PUB-NO: JP02000229953A
DOCUMENT-IDENTIFIER: JP 2000229953 A
TITLE: PRODUCTION OF 5-HALOBUTYL-1-CYCLOHEXYL TETRAZOLE

PUBN-DATE: August 22, 2000

INVENTOR-INFORMATION:
NAME COUNTRY
LEE, BYON SUK
YOO, JI SAN

ASSIGNEE-INFORMATION:

NAME COUNTRY
KYUNG DONG PHARM CO LTD

APPL-NO: JP11108015
APPL-DATE: April 15, 1999

INT-CL (IPC): C07 D 257/04; C07 D 401/12

ABSTRACT:

PROBLEM TO BE SOLVED: To industrially, safely and easily obtain in high yield the subject compound useful as an intermediate for synthesizing cilostazol by reaction of a cyclohexylhydroxyvaleramide with a halogenating agent and sodium azide in a solvent.

SOLUTION: This compound of formula II is obtained by reaction of an N-cyclohexyl-5-hydroxy-n-valeramide of formula I (R is H, methyl or ethyl) with a halogenating agent (e.g. PCl5, PBr5, PI5) and sodium azide in a solvent (e.g. chloroform, methylene chloride, acetonitrile). The above reaction is carried out at 5-100

☐ 4. Document ID: JP 2000229944 A

L11: Entry 4 of 28 File: JPAB Aug 22, 2000

PUB-NO: JP02000229944A
DOCUMENT-IDENTIFIER: JP 2000229944 A
TITLE: PRODUCTION OF 6-HYDROXY-2-OXO-1,2,3,4-TERRAHYDROQUINOLINE

PUBN-DATE: August 22, 2000

INVENTOR-INFORMATION:
NAME COUNTRY
LEE, BYON SUK
PARK, IN KYU
SHIN, SAN FUUN

ASSIGNEE-INFORMATION:
NAME COUNTRY
KYUNG DONG PHARM CO LTD

APPL-NO: JP11108016
APPL-DATE: April 15, 1999

INT-CL (IPC): C07 D 215/22

ABSTRACT:

PROBLEM TO BE SOLVED: To industrially safely and easily obtain the subject compound at low cost and in high yield useful as a synthetic intermediate for cilostazol of a thrombosis medicine by reacting substituted benzochloropropionyl amide with Lewis acid in the presence of homogenizer.

SOLUTION: This compound is represented by the formula II and obtained by reacting N-(4-substituted-benzo)-3-chloropropionylamide of formula I (R is H, methyl or

ethyl) with Lewis acid (e.g. decahydronaphthalene) in the presence of a homogenizer. The reaction is performed using preferably 2-10 mol more preferably 3-5 mol Lewis acid based on the compound of formula I and preferably 1-20 ml/g more preferably 1-5 mg/g homogenizing agent and preferably at 0-200

☐ 5. Document ID: JP 10179722 A

L11: Entry 5 of 28 File: JPAB Jul 7, 1998

PUB-NO: JP410179722A
DOCUMENT-IDENTIFIER: JP 10179722 A
TITLE: SURFACE TREATMENT

PUBN-DATE: July 7, 1998

INVENTOR-INFORMATION:

NAME	COUNTRY
ASAI, HIDEAKI	
ARIKAWA, SEIKI	

ASSIGNEE-INFORMATION:

NAME	COUNTRY
SUMITOMO BAKELITE CO LTD	

APPL-NO: JP08346262
APPL-DATE: December 25, 1996

INT-CL (IPC): A61 L 33/00; A61 L 29/00

ABSTRACT:

PROBLEM TO BE SOLVED: To obtain excellent surface lubricating property and excellent antithrombotic effect by fixing a mixture of a hydrogel and a specified antithrombotic agent to the surface of a polyurethane and drying so that the surface slowly releases the specified antithrombotic agent while it maintains the lubricating property.

SOLUTION: A hydrogel and at least one medicine (hereinafter called as a medicine) selected from a water-soluble antithrombotic agent, an antiplatelet agent, and a dissolving agent for thrombus are fixed with a diisocyanate to the surface of a polyurethane and dried to obtain a medical apparatus. The obtd. apparatus slowly released the medicine by contact with an aq. soln. while it maintains the lubricating property of the surface. The hydrogel is, for example, hyaluronic acid, polyvinylpyrrolidone, gelatin and collagen. The antithrombotic agent is, for example, heparin, warfarin and antithrombin, the antiplatelet is, for example, ticlopidine hydrochloride, cilostazol, dipyridamol and sodium citrate for blood transfusion, and the dissolving agent for thrombus is, for example, urokinase.

☐ 6. Document ID: JP 08245389 A

L11: Entry 6 of 28 File: JPAB Sep 24, 1996

PUB-NO: JP408245389A
DOCUMENT-IDENTIFIER: JP 08245389 A
TITLE: GRANULAR RESIN MATERIAL, MEDICAL MATERIAL AND MEDICINAL PREPARATION CONTAINING SAME RESIN MATERIAL

PUBN-DATE: September 24, 1996

INVENTOR-INFORMATION:

NAME	COUNTRY
IGUCHI, SEIICHIRO	
TOUNO, RIKA	
YAMATO, MINORU	
YAMAMOTO, HIROAKI	
KIMURA, YUZO	
NAKAGAWA, SHINSUKE	
YAMADA, KEIGO	
NAKAMURA, TOSHIO	

ASSIGNEE-INFORMATION:

NAME	COUNTRY
OTSUKA PHARMACEUT CO LTD	
OTSUKA PHARMACEUT FACTORY INC	

APPL-NO: JP08001025
APPL-DATE: January 8, 1996

INT-CL (IPC): A61 K 31/47; A61 K 31/47; A61 K 31/47; A61 K 31/47; A61 K 31/47; A61 K 31/47; A61 K 31/47; A61 K 9/16; A61 K 47/32; C07 D 401/12; C08 L 23/26

ABSTRACT:

PURPOSE: To obtain a granular resin material capable of sustainedly releasing only the necessary amount of cilostazol over a long period into a living body without causing any adverse effect such as a headache by blending the specific amount of cilostazol with the copolymer of ethylene/vinyl alcohol.

CONSTITUTION: This granular resin material having ≤2000μm (especially preferably 75-105μm) particle diameter is prepared by blending (A) a ethylene/vinyl alcohol copolymer having a high safety and stability to a living body, 44-47mol% ethylene content, 12000-40000 number averaged molecular weight and 160-175°C melting point with (B) 5-90wt.% (most preferably 60-85wt.%) cilostazol. Furthermore, cilostazol exhibits a high suppressing effect for platelet aggregation and also has an inhibiting effect to phosphodiesterase, an antiulcer effect, a hypotensive effect, an antiphlogistic effect, etc.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

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☐ 7. Document ID: JP 05194226 A

L11: Entry 7 of 28 File: JPAB Aug 3, 1993

PUB-NO: JP405194226A

DOCUMENT-IDENTIFIER: JP 05194226 A
TITLE: AGENT FOR PREVENTING AND TREATING TUNICA INTIMAL HYPERPLASIA

PUBN-DATE: August 3, 1993

INVENTOR-INFORMATION:
NAME COUNTRY
KIMURA, MASAO
TANI, TAKESHI
WATANABE, KOZO
MATSUMOTO, YUTAKA

ASSIGNEE-INFORMATION:
NAME COUNTRY
OTSUKA PHARMACEUT CO LTD

APPL-NO: JP04183434
APPL-DATE: July 10, 1992

INT-CL (IPC): A61K 31/47; C07D 401/12

ABSTRACT:

PURPOSE: To provide a tunica intimal hyperplasia-preventing and treating agent containing a tetrazolylalkoxydihydrocarbostyryl compound having a cyclic AMP-increasing activity and a platelet agglutination-inhibiting activity as an active ingredient.

CONSTITUTION: A tunica intimal hyperplasia-preventing and treating agent contains a tetrazolylalkoxydihydrocarbostyryl compound of the formula (R is cycloalkyl; A is lower alkylene; the dotted line is single bond or double bond) {especially preferably 6-[4-(1-cyclohexyltetrazol-5-yl)butoxy]-3,4- dihydrocarbostyryl marketed as a vasolilating agent in a trade name of cilostazol} as an active ingredient. The compound inhibits the multiplication of vascular smooth muscle cells, has an effect to prevent and treat tunica intimal hyperplasia, and is useful for preventing and treating coronary artery sclerotization, especially the reobturation of coronary artery especially after PTCA or by the vascular self-retaining of stent.

☐ 8. Document ID: JP 04159224 A

L11: Entry 8 of 28 File: JPAB Jun 2, 1992

PUB-NO: JP404159224A
DOCUMENT-IDENTIFIER: JP 04159224 A
TITLE: REMEDY FOR HUMAN DIABETIC PERCEPTION DISORDER AND PERIPHERAL NERVE DISORDER

PUBN-DATE: June 2, 1992

INVENTOR-INFORMATION:

NAME	COUNTRY
AZUMA, SHINYA	
YOSHIDA, YASUAKI	
HAGINO, HARUHIKO	
MATSUBA, HIROSHI	
KASUGA, MASAHITO	
AOYAMA, NOBUO	
DOI, KUNIHIRO	
AMANO, MASAHIKO	
FUKUNAGA, HIDEYUKI	
SAKAMOTO, TAIZO	
FUKUDA, TSUNEO	
YAMAZAKI, TOMIO	
BABA, SHIGEAKI	

ASSIGNEE-INFORMATION:

NAME	COUNTRY
OTSUKA PHARMACEUT CO LTD	

APPL-NO: JP02285591
APPL-DATE: October 22, 1990

INT-CL (IPC): A61K 31/47; A61K 31/47; C07D 401/12

ABSTRACT:

PURPOSE: To obtain a drug having excellently treating effects on diabetic perception disorder and peripheral nerve disorder, comprising cilostazol as an active ingredient.

CONSTITUTION: Cilostazol [chemical name: 6-[4-(1-cyclohexyltetrazol-5-yl) butoxy]-3,4-dihydrocarbostyril] is used as an active ingredient, properly mixed with generally usable pharmaceutically acceptable drug components and made into preparation by a conventional procedure to give the objective substance. The substance may be processed into a dosage form such as tablet, capsule, granule, syrup, elixin, injection or suppository. A dose of active ingredient is 100-800mg/day per adult (50kg weight) and administered dividedly once to several times. The content of the active ingredient is preferably 50-200mg in dose unit.

☐ 9. Document ID: WO 9748382 A2

L11: Entry 9 of 28 File: EPAB Dec 24, 1997

PUB-NO: WO009748382A2
DOCUMENT-IDENTIFIER: WO 9748382 A2
TITLE: MULTIPLE-UNIT TYPE PROLONGED ACTION DRUG PREPARATION

PUBN-DATE: December 24, 1997

INVENTOR-INFORMATION:

NAME	COUNTRY
MUKAI, TADASHI	JP
KOIKE, MASAMI	JP
NAKAMURA, TOSHIO	JP
KIMURA, YUZO	JP

ASSIGNEE-INFORMATION:

NAME	COUNTRY
OTSUKA PHARMA CO LTD	JP
MUKAI TADASHI	JP
KOIKE MASAMI	JP
NAKAMURA TOSHIO	JP
KIMURA YUZO	JP

APPL-NO: JP09701836
APPL-DATE: May 29, 1997

PRIORITY-DATA: JP15671896A (June 18, 1996)

INT-CL (IPC): A61 K 9/16; A61 K 9/48; A61 K 31/47
EUR-CL (EPC): A61K031/47; A61K009/20, A61K009/48

ABSTRACT:

CHG DATE=19990617 STATUS=O>The present invention provides a multiple-unit type prolonged release action drug preparation which is characterized by containing at least 2 small tablets of sustained release type drug preparation obtained by formulating cilostazol with hydroxypropylmethylcellulose as the base material of the drug preparation. By formulating cilostazol as in the form of a multiple-unit type prolonged release action drug preparation of the present invention, side-effects, such as headache and other symptoms caused by high blood concentration of cilostazol due to the rapid absorption, can be reduced.

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments

KWIC | Draw Desc | Image

☐ 10. Document ID: WO 9621448 A1

L11: Entry 10 of 28 File: EPAB Jul 18, 1996

PUB-NO: WO009621448A1
DOCUMENT-IDENTIFIER: WO 9621448 A1
TITLE: RESIN PARTICLE, MEDICAL MATERIAL AND PHARMACEUTICAL PREPARATION CONTAINING SAID RESIN PARTICLE

PUBN-DATE: July 18, 1996

INVENTOR-INFORMATION:

NAME	COUNTRY
IGUCHI, SEIICHIRO	JP
HIGASHINO, RIKA	JP
YAMATO, MINORU	JP
YAMAMOTO, HIROAKI	JP
KIMURA, YUZO	JP
NAKAGAWA, SHINSUKE	JP
YAMADA, KEIGO	JP
NAKAMURA, TOSHIO	JP

ASSIGNEE-INFORMATION:

NAME	COUNTRY
OTSUKA PHARMA CO LTD	JP
IGUCHI SEIICHIRO	JP
HIGASHINO RIKA	JP
YAMATO MINORU	JP
YAMAMOTO HIROAKI	JP
KIMURA YUZO	JP
NAKAGAWA SHINSUKE	JP
YAMADA KEIGO	JP
NAKAMURA TOSHIO	JP

APPL-NO: JP09600004
APPL-DATE: January 4, 1996

PRIORITY-DATA: JP00228795A (January 10, 1995)

INT-CL (IPC): A61 K 31/47; A61 K 9/14; A61 K 9/22
EUR-CL (EPC): A61K009/16; A61K009/16, A61K031/47

ABSTRACT:

CHG DATE=19990617 STATUS=O>A resin particle is provided which comprises an ethylene vinyl alcohol copolymer and 5 to 90 % by weight of cilostazol incorporated therein, and has a particle size of not greater than 2,000 mu m. The resin particle, upon being administered orally, allows the concentration of cilostazol in blood to be kept constant over an extended period of time and, therefore, remarkably alleviates side effects such as pain and headache which may otherwise be caused by rapid release of cilostazol into a body.

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Search Results - Record(s) 11 through 20 of 28 returned.

☐ 11. Document ID: JP 2002097132 A US 20020058066 A1

L11: Entry 11 of 28 File: DWPI Apr 2, 2002

DERWENT-ACC-NO: 2002-474786
DERWENT-WEEK: 200251

TITLE: Cilastazol coat-core tablet for maintaining blood concentration, comprises core of cilostazol covered by outer layer containing cilostazol, water-insoluble substance and hydrophilic hydro gel

INVENTOR: JINNO, J; KURIBAYASHI, D ; MUKAI, T ; OKA, Y ; TOMOHIRA, Y

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA)

PRIORITY-DATA: 2000JP-0288023 (September 22, 2000)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 2002097132 A	April 2, 2002		008	A61K009/24
US 20020058066 A1	May 16, 2002		000	A61K009/36

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP2002097132A	September 22, 2000	2000JP-0288023	
US20020058066A1	September 21, 2001	2001US-0957034	

INT-CL (IPC): A61 K 9/24; A61 K 9/36; A61 K 31/47; A61 K 31/4704; A61 K 47/38; A61 P 7/02; A61 P 9/10; A61 P 9/12; A61 P 11/06; A61 P 17/02; A61 P 29/00; A61 P 43/00

ABSTRACTED-PUB-NO: JP2002097132A
BASIC-ABSTRACT:

NOVELTY - Cilastazol coat-core tablet for maintaining blood concentration, comprises core of cilostazol covered by outer layer containing cilostazol, water-insoluble substance and hydrophilic hydro gel.

USE - For maintaining blood concentration.

ADVANTAGE - The coated tablet has excellent mechanical strength and resistant to disintegration in digestive tract. The outer coating forms a gel and enables stable sustained release of cilastazol for long period. When the drug release from the outer matrix layer is completed, the tablet reaches the digestive tract and drug in the internal core begins to release. This enables improvement in stability of tablet with respect to peristalsis and digestive tract motility. The coated tablet enables control of blood pressure with reduced side effects such as headache and pain.

ABSTRACTED-PUB-NO: US20020058066A
EQUIVALENT-ABSTRACTS:

NOVELTY - Cilastazol coat-core tablet for maintaining blood concentration, comprises core of cilostazol covered by outer layer containing cilostazol, water-insoluble

substance and hydrophilic hydro gel.

USE - For maintaining blood concentration.

ADVANTAGE - The coated tablet has excellent mechanical strength and resistant to disintegration in digestive tract. The outer coating forms a gel and enables stable sustained release of cilastazol for long period. When the drug release from the outer matrix layer is completed, the tablet reaches the digestive tract and drug in the internal core begins to release. This enables improvement in stability of tablet with respect to peristalsis and digestive tract motility. The coated tablet enables control of blood pressure with reduced side effects such as headache and pain.

CHOSEN-DRAWING: Dwg.0/1

DERWENT-CLASS: B02 B07
CPI-CODES: B04-C02A; B05-A01B; B05-C08; B06-D02; B12-M10; B12-M11B;

☐ 12. Document ID: US 6388080 B1

L11: Entry 12 of 28 File: DWPI May 14, 2002

DERWENT-ACC-NO: 2002-462357
DERWENT-WEEK: 200249

TITLE: Process for making C form cilostazol involves cold recrystallization of other polymorphic forms

INVENTOR: STOWELL, G W; WHITTLE, R R

PATENT-ASSIGNEE: STOWELL G W (STOWI), WHITTLE R R (WHITI)

PRIORITY-DATA: 2001US-0896448 (June 29, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 6388080 B1	May 14, 2002		038	C07D401/12

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
US 6388080B1	June 29, 2001	2001US-0896448	

INT-CL (IPC): C07 D 401/12

ABSTRACTED-PUB-NO: US 6388080B
BASIC-ABSTRACT:

NOVELTY - Making cilostazol (C form), useful as a phosphodiesterase III inhibitor for therapeutics, by heating, cooling and warming other polymorphic forms to allow cold crystallization is new.

DETAILED DESCRIPTION - A process for making Form C of 6-(4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy)-3,4-dihydro-2(1H)-quinolinone (cilostazol) comprises (1) melting cilostazol starting material; (2) cooling the molten cilostazol; and (3) heating the cooled cilostazol to induce cold crystallization of Form C. An INDEPENDENT CLAIM is made for Form C of cilostazol made by the above process.

USE - Useful of making cilostazol C form, a phosphodiesterase III inhibitor for therapeutic use.

ADVANTAGE - The C form is more water soluble and better bioavailability than other polymorphic forms and does not need water or organic solvates for its synthesis.

ABSTRACTED-PUB-NO: US 6388080B
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/25

DERWENT-CLASS: B02
CPI-CODES: B06-D02; B14-D07A;

☐ 13. Document ID: WO 200214283 A1 AU 200184887 A US 20020099213 A1

L11: Entry 13 of 28 File: DWPI Feb 21, 2002

DERWENT-ACC-NO: 2002-329566
DERWENT-WEEK: 200255

TITLE: Preparing cilostazol involves forming a biphasic mixture of an organic phase of a dihydroquinolinone derivative and an aqueous phase of 1-cyclohexyl-5-(4-halobutyl)-tetrazole in the presence of in the presence of a catalyst

INVENTOR: FINKELSTEIN, N; MENDELOVICI, M ; PILARSKI, G ; MENDELOVICHI, M ; PILARKSI, G

PATENT-ASSIGNEE: TEVA PHARM IND LTD (TEVAN), FINKELSTEIN N (FINKI), MENDELOVICI M (MENDI), PILARSKI G (PILAI), TEVA PHARM USA INC (TEVAN)

PRIORITY-DATA: 2000US-225362P (August 14, 2000), 2000US-190588P (March 20, 2000), 2001US-0929683 (August 14, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 200214283 A1	February 21, 2002	E	017	C07D215/227
AU 200184887 A	February 25, 2002		000	C07D215/227
US 20020099213 A1	July 25, 2002		000	C07D403/02

DESIGNATED-STATES: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
WO 200214283A1	August 14, 2001	2001WO-US25398	
AU 200184887A	August 14, 2001	2001AU-0084887	
AU 200184887A		WO 200214283	Based on
US20020099213A1	March 20, 2000	2000US-190588P	Provisional
US20020099213A1	August 14, 2000	2000US-225362P	Provisional
US20020099213A1	August 14, 2001	2001US-0929683	

INT-CL (IPC): C07 D 215/227; C07 D 257/04; C07 D 401/12; C07 D 403/02

RELATED-ACC-NO: 2001-626114

ABSTRACTED-PUB-NO: US20020099213A
BASIC-ABSTRACT:

NOVELTY - Preparation (I) of cilostazol involves

(i) dissolving a 6-hydroxy-3,4-dihydroquinolinone (a) and a water-soluble base in water to form an aqueous phase;

(ii) dissolving a 1-cyclohexyl-5-(4-halobutyl)tetrazole (b) in a water-immiscible solvent to form an organic phase;

(iii) contacting the phases in the presence of a quaternary ammonium phase transfer catalyst to form a biphasic mixture; and

(iv) recovering cilostazol.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following

(1) a method (II) of preparing the cilostazol involving

(i) adding (a), (b) an alkali metal hydroxide (A1) (about 0.9 - 1.2 equivalents with respect to (a)) and an alkali metal carbonate (about 0.1 - 0.2 equivalents with respect to (a)) to a non-aqueous hydroxylic solvent to form a mixture and

(ii) recovering the cilostazol from the mixture;

(2) a method (III) of preparing the cilostazol involving

(i) dissolving (a) in a non-aqueous solvent,

(ii) activating the phenol group of (a) with an alkali metal hydroxide (A2) to form 6-hydroxy-3,4-dihydroquinolinone phenolate,

(iii) scavenging water formed as a byproduct of the phenol activation from the solvent by entrainment in molecular sieves;

(iv) adding (b); and

(v) recovering cilostazol; and

(3) purifying the cilostazol by recrystallization from a solvent (preferably 1-butanol, acetone, toluene, methyl ethyl ketone, dichloromethane, ethyl acetate, methyl, tert-butylether, dimethyl acetamide-water mixture, tetrahydrofuran, methanol, isopropanol, benzyl alcohol, 2-pyrrolidone, acetonitrile, cellulose, monoglyme, isobutyl acetate, sec-butanol, tert-butanol, dimethylformamide, chloroform and/or diethylether).

ACTIVITY - Antilimping.

MECHANISM OF ACTION - Cell platelet aggregation inhibitor.

USE - For preparing cilostazol (claimed), which inhibits cell platelet aggregation and used to treat patients with intermittent claudication.

ADVANTAGE - The process provides highly or substantial pure cilostazol free of impurities and micronized cilostazol of small particle size and narrow particle size distribution and improves upon the process previously used to perform the chemical transformation, which result in a greater conversion of the tetrazole starting material to cilostazol.

ABSTRACTED-PUB-NO: WO 200214283A

EQUIVALENT-ABSTRACTS:

NOVELTY - Preparation (I) of cilostazol involves

(i) dissolving a 6-hydroxy-3,4-dihydroquinolinone (a) and a water-soluble base in water to form an aqueous phase;

(ii) dissolving a 1-cyclohexyl-5-(4-halobutyl)tetrazole (b) in a water-immiscible solvent to form an organic phase;

(iii) contacting the phases in the presence of a quaternary ammonium phase transfer catalyst to form a biphasic mixture; and

(iv) recovering cilostazol.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following

(1) a method (II) of preparing the cilostazol involving

(i) adding (a), (b) an alkali metal hydroxide (A1) (about 0.9 - 1.2 equivalents with respect to (a)) and an alkali metal carbonate (about 0.1 - 0.2 equivalents with respect to (a)) to a non-aqueous hydroxylic solvent to form a mixture and

(ii) recovering the cilostazol from the mixture;

(2) a method (III) of preparing the cilostazol involving

(i) dissolving (a) in a non-aqueous solvent,

(ii) activating the phenol group of (a) with an alkali metal hydroxide (A2) to form 6-hydroxy-3,4-dihydroquinolinone phenolate,

(iii) scavenging water formed as a byproduct of the phenol activation from the solvent by entrainment in molecular sieves;

(iv) adding (b); and

(v) recovering cilostazol; and

(3) purifying the cilostazol by recrystallization from a solvent (preferably 1-butanol, acetone, toluene, methyl ethyl ketone, dichloromethane, ethyl acetate, methyl, tert-butylether, dimethyl acetamide-water mixture, tetrahydrofuran, methanol, isopropanol, benzyl alcohol, 2-pyrrolidone, acetonitrile, cellulose, monoglyme, isobutyl acetate, sec-butanol, tert-butanol, dimethylformamide, chloroform and/or diethylether).

ACTIVITY - Antilimping.

MECHANISM OF ACTION - Cell platelet aggregation inhibitor.

USE - For preparing cilostazol (claimed), which inhibits cell platelet aggregation and used to treat patients with intermittent claudication.

ADVANTAGE - The process provides highly or substantial pure cilostazol free of impurities and micronized cilostazol of small particle size and narrow particle size distribution and improves upon the process previously used to perform the chemical transformation, which result in a greater conversion of the tetrazole starting material to cilostazol.

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B02
CPI-CODES: B06-D02; B07-D13; B14-L06;

☐ 14. Document ID: WO 200170697 A1 AU 200150892 A US 20020032333 A1 US 20020099213 A1

L11: Entry 14 of 28 File: DWPI Sep 27, 2001

DERWENT-ACC-NO: 2001-626114
DERWENT-WEEK: 200255

TITLE: Preparing 6-hydroxy-3,4-dihydroquinolinone (6-HQ) useful for preparing cilostazol by cyclization of N-(4-methoxyphenyl)-3-chloropropionamide in presence of Lewis acid catalyst in a diluent

INVENTOR: DOLITZKY, B; MENDELOVICI, M ; NIDAM, T ; PILARSKY, G ; FINKELSTEIN, N ; PILARSKI, G

PATENT-ASSIGNEE: TEVA PHARM IND LTD (TEVAN), DOLITZKY B (DOLII), MENDELOVICI M (MENDI), NIDAM T (NIDAI), PILARSKY G (PILAI), FINKELSTEIN N (FINKI), PILARSKI G (PILAI), TEVA PHARM USA INC (TEVAN)

PRIORITY-DATA: 2000US-190588P (March 20, 2000), 2001US-0812454 (March 20, 2001), 2000US-225362P (August 14, 2000), 2001US-0929683 (August 14, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 200170697 A1	September 27, 2001	E	021	C07D215/16
AU 200150892 A	October 3, 2001		000	C07D215/16
US 20020032333 A1	March 14, 2002		000	C07D215/36
US 20020099213 A1	July 25, 2002		000	C07D403/02

DESIGNATED-STATES: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK DM DZ EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ NL OA PT SD SE SL SZ TR TZ UG ZW

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
WO 200170697A1	March 20, 2001	2001WO-US08865	
AU 200150892A	March 20, 2001	2001AU-0050892	
AU 200150892A		WO 200170697	Based on
US20020032333A1	March 20, 2000	2000US-190588P	Provisional
US20020032333A1	March 20, 2001	2001US-0812454	
US20020099213A1	March 20, 2000	2000US-190588P	Provisional
US20020099213A1	August 14, 2000	2000US-225362P	Provisional
US20020099213A1	August 14, 2001	2001US-0929683	

INT-CL (IPC): C07 C 211/00; C07 C 233/00; C07 D 215/16; C07 D 215/20; C07 D 215/36; C07 D 403/02

RELATED-ACC-NO: 2002-329566

ABSTRACTED-PUB-NO: US20020032333A
BASIC-ABSTRACT:

NOVELTY - Preparation of 6-hydroxy-3,4-dihydroquinolinone (6-HQ) by cyclization of N-(4-methoxyphenyl)-3-chloropropionamide (MCPA) involves: contacting MCPA with a

Lewis acid catalyst in a diluent at 150 - 220 deg. C to obtain a Lewis acid salt of 6-HQ; decomposing the Lewis acid salt of 6-HQ; and isolating 6-HQ.

DETAILED DESCRIPTION - Preparation of 6-hydroxy-3,4-dihydroquinolinone (6-HQ) by cyclization of N-(4-methoxyphenyl)-3-chloropropionamide (MCPA) involves: contacting (equivalents) MCPA (1) with a Lewis acid catalyst (3 - 5) in a diluent (1 - 1.3) at 150 - 220 deg. C to cyclize and demethylate all MCPA to form a Lewis acid salt of 6-HQ; decomposing the Lewis acid salt of 6-HQ; and isolating 6-HQ. The diluent is selected from dimethyl sulfoxide or N,N-disubstituted amide or amine having a boiling point approx. 150 deg. C. INDEPENDENT CLAIMS are also included for the following:

(1) conversion of 6-HQ to 6-(4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy)-3,4--dihydro-2(1H)-quinolinone or its salt by reacting 6-HQ with 1-cyclohexyl-5-(4-halobutyl)-tetrazole in the presence of an organic or inorganic base; and

(2) preparation of MCPA by adding (equivalents) para-anisidine and sodium bicarbonate (1 - 1.2) with respect to para-anisidine to toluene to form a suspension of sodium bicarbonate in para-anisidine; slowly adding 3-chloropropionyl chloride (0.9 - 1.1) to the suspension; maintaining the suspension at 25 - 111 deg. C to convert all para-anisidine to MCPA; quenching the mixture with aqueous mineral acid to precipitate a solid; isolating the solid from the quenched mixture, washing with water and toluene and drying to a constant weight. MCPA obtained has a purity of greater than 98%.

USE - For preparing cilostazol (claimed).

ADVANTAGE - (I) is obtained in high yield and high state of purity, so that can be used in subsequent reactions for the preparation of cilostazol without intermediate purification.

ABSTRACTED-PUB-NO: US20020099213A
EQUIVALENT-ABSTRACTS:

NOVELTY - Preparation of 6-hydroxy-3,4-dihydroquinolinone (6-HQ) by cyclization of N-(4-methoxyphenyl)-3-chloropropionamide (MCPA) involves: contacting MCPA with a Lewis acid catalyst in a diluent at 150 - 220 deg. C to obtain a Lewis acid salt of 6-HQ; decomposing the Lewis acid salt of 6-HQ; and isolating 6-HQ.

DETAILED DESCRIPTION - Preparation of 6-hydroxy-3,4-dihydroquinolinone (6-HQ) by cyclization of N-(4-methoxyphenyl)-3-chloropropionamide (MCPA) involves: contacting (equivalents) MCPA (1) with a Lewis acid catalyst (3 - 5) in a diluent (1 - 1.3) at 150 - 220 deg. C to cyclize and demethylate all MCPA to form a Lewis acid salt of 6-HQ; decomposing the Lewis acid salt of 6-HQ; and isolating 6-HQ. The diluent is selected from dimethyl sulfoxide or N,N-disubstituted amide or amine having a boiling point approx. 150 deg. C. INDEPENDENT CLAIMS are also included for the following:

(1) conversion of 6-HQ to 6-(4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy)-3,4--dihydro-2(1H)-quinolinone or its salt by reacting 6-HQ with 1-cyclohexyl-5-(4-halobutyl)-tetrazole in the presence of an organic or inorganic base; and

(2) preparation of MCPA by adding (equivalents) para-anisidine and sodium bicarbonate (1 - 1.2) with respect to para-anisidine to toluene to form a suspension of sodium bicarbonate in para-anisidine; slowly adding 3-chloropropionyl chloride (0.9 - 1.1) to the suspension; maintaining the suspension at 25 - 111 deg. C to convert all para-anisidine to MCPA; quenching the mixture with aqueous mineral acid to precipitate a solid; isolating the solid from the quenched mixture, washing with water and toluene and drying to a constant weight. MCPA obtained has a purity of greater than 98%.

USE - For preparing cilostazol (claimed).

ADVANTAGE - (I) is obtained in high yield and high state of purity, so that can be used in subsequent reactions for the preparation of cilostazol without intermediate purification.

NOVELTY - Preparation (I) of cilostazol involves

(i) dissolving a 6-hydroxy-3,4-dihydroquinolinone (a) and a water-soluble base in water to form an aqueous phase;

(ii) dissolving a 1-cyclohexyl-5-(4-halobutyl)tetrazole (b) in a water-immiscible solvent to form an organic phase;

(iii) contacting the phases in the presence of a quaternary ammonium phase transfer catalyst to form a biphasic mixture; and

(iv) recovering cilostazol.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following

(1) a method (II) of preparing the cilostazol involving

(i) adding (a), (b) an alkali metal hydroxide (A1) (about 0.9 - 1.2 equivalents with respect to (a)) and an alkali metal carbonate (about 0.1 - 0.2 equivalents with respect to (a)) to a non-aqueous hydroxylic solvent to form a mixture and

(ii) recovering the cilostazol from the mixture;

(2) a method (III) of preparing the cilostazol involving

(i) dissolving (a) in a non-aqueous solvent,

(ii) activating the phenol group of (a) with an alkali metal hydroxide (A2) to form 6-hydroxy-3,4-dihydroquinolinone phenolate,

(iii) scavenging water formed as a byproduct of the phenol activation from the solvent by entrainment in molecular sieves;

(iv) adding (b); and

(v) recovering cilostazol; and

(3) purifying the cilostazol by recrystallization from a solvent (preferably 1-butanol, acetone, toluene, methyl ethyl ketone, dichloromethane, ethyl acetate, methyl, tert-butylether, dimethyl acetamide-water mixture, tetrahydrofuran, methanol, isopropanol, benzyl alcohol, 2-pyrrolidone, acetonitrile, cellulose, monoglyme, isobutyl acetate, sec-butanol, tert-butanol, dimethylformamide, chloroform and/or diethylether).

ACTIVITY - Antilimping.

MECHANISM OF ACTION - Cell platelet aggregation inhibitor.

USE - For preparing cilostazol (claimed), which inhibits cell platelet aggregation and used to treat patients with intermittent claudication.

ADVANTAGE - The process provides highly or substantial pure cilostazol free of impurities and micronized cilostazol of small particle size and narrow particle size distribution and improves upon the process previously used to perform the chemical transformation, which result in a greater conversion of the tetrazole starting material to cilostazol.

WO 200170697A

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B02
CPI-CODES: B05-A01B; B05-A03A; B05-A03B; B05-B02C; B06-D02; B07-D13; B10-A25; B10-B03A; B10-D03;

☐ 15. Document ID: EP 1162973 A1 WO 200057881 A1 AU 200031965 A JP 2001163769 A

L11: Entry 15 of 28 File: DWPI Dec 19, 2001

DERWENT-ACC-NO: 2000-611682
DERWENT-WEEK: 200206

TITLE: Improving the absorption of cilostazol in the lower digestive tract by the incorporation of a dispersing and/or solubilizing agent, to avoid side effects e.g. pain and headaches

INVENTOR: MUKAI, T; OKA, Y ; TODA, M ; TOMOHIRA, Y ; YAMADA, K

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA)

PRIORITY-DATA: 1999JP-0279147 (September 30, 1999), 1999JP-0081363 (March 25, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 1162973 A1	December 19, 2001	E	000	A61K031/47
WO 200057881 A1	October 5, 2000	E	082	A61K031/47
AU 200031965 A	October 16, 2000		000	A61K031/47
JP 2001163769 A	June 19, 2001		021	A61K009/10

DESIGNATED-STATES: AT BE CH CY DE DK ES FI FR GB GR IE IT LI LU MC NL PT SE AU BR CA CN ID IN KR MX SG US AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
EP 1162973A1	March 21, 2000	2000EP-0909776	
EP 1162973A1	March 21, 2000	2000WO-JP01722	
EP 1162973A1		WO 200057881	Based on
WO 200057881A1	March 21, 2000	2000WO-JP01722	
AU 200031965A	March 21, 2000	2000AU-0031965	
AU 200031965A		WO 200057881	Based on
JP2001163769A	March 17, 2000	2000JP-0076276	Div ex
JP2001163769A	March 17, 2000	2000JP-0288789	

INT-CL (IPC): A61 K 9/10; A61 K 9/14; A61 K 9/52; A61 K 9/66; A61 K 31/41; A61 K 31/47; A61 K 47/46; A61 P 1/04; A61 P 7/02; A61 P 9/10; A61 P 9/12; A61 P 11/06; A61 P 29/00; A61 P 43/00

RELATED-ACC-NO: 2001-308122

ABSTRACTED-PUB-NO: WO 200057881A
BASIC-ABSTRACT:

NOVELTY - Improving the absorbability of cilostazol (6-(4-(1-cyclohexyl-1H-tetrazol-5-yl)butoxy)-3,4-dihydrocarbostyryl) in the lower end of the digestive tract by the incorporation of a dispersing and/or solubilizing

agent, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a cilostazol preparation (I) having a capability of dissolving cilostazol even at the lower end of the digestive tract, which comprises incorporating a fine powder of cilostazol as an active ingredient into a dispersing and/or solubilizing agent;

(2) a process (II) for improving absorbability of a slightly soluble drug which is difficult to absorb in the lower end of the digestive tract, comprising forming the slightly soluble drug as an active ingredient into a fine powder and improving dispersibility and/or solubility of the soluble drug;

(3) a sustained release preparation (III) comprising (I) or the product of (II); and

(4) a fine powder (IV) of cilostazol having an average particle diameter of 10 micro m or less, which is the starting material for a sustained release preparation of cilostazol.

ACTIVITY - Thrombolytic; cerebroprotective; antiinflammatory; anti-ulcer; hypotensive.

MECHANISM OF ACTION - The cilostazol contains an agent that improves its solubility and/or dispersibility in the lower digestive tract.

A bulk cilostazol powder having a average particle size of 20 micro m was suspended in 0.25% polyvinyl alcohol solution to obtain a 0.25% cilostazol suspension (Ex1).

200 g of cilostazol having an average particle diameter of about 20 micro m and 50 g of polyvinyl alcohol were dispersed and dissolved in 750 g of water, and then pulverized, together with 4000 g of zirconia beads having a diameter of 0. 3 mm, in DYNO-MILL(TM) having a volume of 1.4 L at 2500 rpm (revolutions per minute) for one hour to obtain a pulverized suspension of cilostazol having an average particle diameter of about 270 nm. This pulverized suspension was suitably diluted to give a 0.25% cilostazol suspension. The average particle diameter of cilostazol was measured by a dynamic light scattering process using an electrophoretic light scattering photometer (Ex2).

The preparation of Ex2 was found to be over 20 times faster than the preparation of Ex1 when administered to beagle dogs after fasting.

USE - Cilostazol is used as a thrombolytic drug and/or for improving cerebral circulation. It is also used as an anti-phlogistic (i.e. anti-inflammatory) drug, anti-ulcer drug, hypotensive drug, drug for asthema (sic) and/or a phosphodiesterase inhibitor.

ADVANTAGE - The cilostazol contains an agent that improves its solubility and/or dispersibility in the lower digestive tract. Prior art preparations of cilostazol tend to be absorbed quickly in the upper digestive tract only, resulting in side effects such as headaches, pain and heavy feelings in the head. The disclosed preparations of cilostazol are capable of sustaining mild rates of absorption through out the digestive tract, for a long time in a single administration, avoiding the build up of high concentrations in the body and the onset of side effects.

ABSTRACTED-PUB-NO: WO 200057881A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/6

DERWENT-CLASS: B02
CPI-CODES: B06-D02; B12-M10A; B12-M10B; B12-M11B; B12-M11C; B12-M11G; B14-C03; B14-D07A; B14-E08; B14-F02B; B14-F02D1; B14-F04; B14-K01A;

☐ 16. Document ID: JP 2000229953 A KR 2000055711 A KR 281593 B

L11: Entry 16 of 28 File: DWPI Aug 22, 2000

DERWENT-ACC-NO: 2000-649659
DERWENT-WEEK: 200213

TITLE: Preparation of 5-halo-butyl-1-cyclohexyl tetrazoles, useful as intermediates for cilostazol for treating thrombosis, involves reacting N-cyclohexyl-5-hydroxy-n-valeric amide with halogenating agent and sodium azide in solvent

INVENTOR: LEE, B S; YOO, J S

PATENT-ASSIGNEE: KYEONGDONG PHARMA CO LTD (KYEON), KYEONGDONG PHARM CO LTD (KYEON)

PRIORITY-DATA: 1999KR-0004468 (February 9, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 2000229953 A	August 22, 2000		006	C07D257/04
KR 2000055711 A	September 15, 2000		000	C07D257/04
KR 281593 B	February 15, 2001		000	C07D257/04

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP2000229953A	April 15, 1999	1999JP-0108015	
KR2000055711A	February 9, 1999	1999KR-0004468	
KR 281593B	February 9, 1999	1999KR-0004468	
KR 281593B		KR2000055711	Previous Publ.

INT-CL (IPC): C07 D 257/04; C07 D 401/12

ABSTRACTED-PUB-NO: JP2000229953A
BASIC-ABSTRACT:

NOVELTY - Preparation of 5-halo butyl-1- cyclohexyl tetrazoles (I) involves reacting N-cyclohexyl-5-hydroxy-n-valeric amide (II) with a halogenating agent and a sodium azide in a solvent.

DETAILED DESCRIPTION - Preparation of 5-halo butyl-1- cyclohexyl tetrazoles of formula (I) involves reacting N-cyclohexyl-5-hydroxy-n-vale- ric amide of formula (II) with a halogenating agent and a sodium azide in a solvent.

X = Cl, Br or I

An INDEPENDENT CLAIM is also included for the preparation of cilostazol which involves reacting (I) with 6-hydroxy-2-oxo-1,2,3,4-tetrahydro quinoline.

USE - (I) are intermediates for cilostazol which is used for treating thrombosis.

ADVANTAGE - The method enables manufacture of (I) in high yield using a simple process. The method can be easily applied industrially. Dangerous by-products are not produced in the reaction.

ABSTRACTED-PUB-NO: JP2000229953A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B03
CPI-CODES: B06-D02; B07-D13; B14-F04;

☐ 17. Document ID: KR 302346 B JP 2000229944 A KR 2000055712 A

L11: Entry 17 of 28 File: DWPI Sep 22, 2001

DERWENT-ACC-NO: 2000-649658
DERWENT-WEEK: 200230

TITLE: Manufacture of 6-hydroxy 2-oxo-1,2,3,4-tetrahydro quinoline used for Cilostazol manufacture involves reacting N-(4-substituted benzo) 3-chloro propionyl amido with Lewis acid in presence of equalization agent

INVENTOR: LEE, B S; PARK, I G ; SHIN, S H

PATENT-ASSIGNEE: KYEONGDONG PHARMA CO LTD (KYEON), KYEONGDONG PHARM CO LTD (KYEON)

PRIORITY-DATA: 1999KR-0004469 (February 9, 1999)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
KR 302346 B	September 22, 2001		000	C07D215/227
JP 2000229944 A	August 22, 2000		007	C07D215/22
KR 2000055712 A	September 15, 2000		000	C07D215/227

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
KR 302346B	February 9, 1999	1999KR-0004469	
KR 302346B		KR2000055712	Previous Publ.
JP2000229944A	April 15, 1999	1999JP-0108016	
KR2000055712A	February 9, 1999	1999KR-0004469	

INT-CL (IPC): C07 D 215/22; C07 D 215/227

ABSTRACTED-PUB-NO: JP2000229944A
BASIC-ABSTRACT:

NOVELTY - Manufacture of 6-hydroxy 2-oxo-1,2,3,4-tetrahydro quinoline (I) involves reacting N-(4-substituted benzo) 3-chloro propionyl amido (II) with a Lewis acid in the presence of an equalization agent.

DETAILED DESCRIPTION - Manufacture of 6-hydroxy 2-oxo-1,2,3,4-tetrahydroqu- inoline of formula (I) involves reacting N-(4-substituted benzo) 3-chloro propionyl amido of formula (II) with a Lewis acid in the presence of an equalization agent.

R = H, methyl or ethyl

An INDEPENDENT CLAIM is also included for the manufacture of Cilostazol which involves reacting (I) with 5-halobutyl-1-cyclohexyl-tetrazol.

USE - The process is used to produce a synthetic intermediate of Cilostazol which is a thrombosis agent.

ADVANTAGE - The method enables manufacture of 6-hydroxy 2-oxo-1,2,3,4-tetrahydroquinoline in high yield without production of dangerous by products. The method can be easily applied for industrial production.

ABSTRACTED-PUB-NO: JP2000229944A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B02
CPI-CODES: B06-D02; B14-F04;

☐ 18. Document ID: CN 1332726 A WO 200026186 A1 AU 9963673 A EP 1125922 A1 JP 2000579575 X KR 2001100965 A

L11: Entry 18 of 28 File: DWPI Jan 23, 2002

DERWENT-ACC-NO: 2000-376113
DERWENT-WEEK: 200231

TITLE: New N-(hetero)aryl pyrrolidine derivatives used to treat conditions such as ischemic heart disease, cerebrovascular disorders, migraine, diabetic neuropathy, glaucoma, dry eye and dry dermatitis

INVENTOR: FUJIO, M; KUROITA, T ; NAKAGAWA, H

PATENT-ASSIGNEE: YOSHITOMI PHARM IND KK (YOSH), WELFIDE CORP (WELFN)

PRIORITY-DATA: 1998JP-0311868 (November 2, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
CN 1332726 A	January 23, 2002		000	C07D207/14
WO 200026186 A1	May 11, 2000	J	094	C07D207/14
AU 9963673 A	May 22, 2000		000	C07D207/14
EP 1125922 A1	August 22, 2001	E	000	C07D207/14
JP 2000579575 X	February 5, 2002		000	C07D207/14
KR 2001100965 A	November 14, 2001		000	C07D487/08

DESIGNATED-STATES: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT TZ UA UG US UZ VN YU ZA ZW AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ TZ UG ZW AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
CN 1332726A	October 28, 1999	1999CN-0815287	
WO 200026186A1	October 28, 1999	1999WO-JP06002	
AU 9963673A	October 28, 1999	1999AU-0063673	
AU 9963673A		WO 200026186	Based on
EP 1125922A1	October 28, 1999	1999EP-0951139	
EP 1125922A1	October 28, 1999	1999WO-JP06002	
EP 1125922A1		WO 200026186	Based on
JP2000579575X	October 28, 1999	1999WO-JP06002	
JP2000579575X	October 28, 1999	2000JP-0579575	
JP2000579575X		WO 200026186	Based on
KR2001100965A	May 2, 2001	2001KR-0705514	

INT-CL (IPC): A61 K 31/40; A61 K 31/435; A61 K 31/44; A61 K 31/46; A61 K 31/55; A61 K 31/551; C07 D 207/14; C07 D 401/12 ; C07 D 401/14; C07 D 403/12; C07 D 405/12; C07 D 409/12; C07 D 409/14; C07 D 451/02; C07 D 453/02; C07 D 471/08; C07 D 471/18; C07 D 487/08

ABSTRACTED-PUB-NO: WO 200026186A
BASIC-ABSTRACT:

NOVELTY - N-(hetero)aryl pyrrolidine derivatives (I) are new.

DETAILED DESCRIPTION - N-(hetero)aryl pyrrolidine derivatives of formula (I) are new.

R1 = a group of formula (i) -(viii);

R3, R4 = H, halo, alkyl, alkoxy, haloalkyl, OH, amino, dialkylamino, NO2, CN, amido or

R3 + R4 = carbonyl;

R5-R8 = H or alkyl or

two of R5-R8 = 3-8C cycloalkyl, 3-8C cycloalkenyl, 5-8C cycloalkadienyl, aryl or heteroaryl containing 1 or more of N, O and S (all optionally substituted), or form a double bond between the C atoms to which they are bonded;

rings A, B = 3-8C cycloalkyl, 3-8C cycloalkenyl, 5-8C cycloalkadienyl, aryl or heteroaryl (all optionally substituted);

ring H = optionally substituted 3-8C cycloalkyl;

E = optionally substituted 3-8C cycloalkyl;

Z = C, N or N-oxide;

Y = a bond, O, S, SO, SO2, CH2, CH2CH2 or CH=CH;

p-u = 1 or 2;

u' = 0-2;

r', s' = 0-3;

v-x = 1-3;

R9 = H, 1-6C alkyl, 1-6C alkoxy or 1-6C hydroxyalkyl;

X = CO, CS, NH-CO, SO or SO2;

R2 = H, alkyl, acyl, or (all optionally substituted) aralkyl, aryl, heteroaryl;

D = optionally substituted 1-8C alkylene and when the alkylene is branched, it may form a 4-8-membered ring with Ar and

Ar = aryl or heteroaryl containing 1 or more of N, O and S (both optionally substituted),

provided that, when X = NHCO, SO or SO2, then R2 is not acyl, when R1 = (v)-(vii), then X = CO or CS and R2 = H or alkyl; when R1 = (v), then D is not optionally substituted methylene.

MECHANISM OF ACTION - 5-HT2 receptor antagonist; platelet aggregation inhibitor; tear secretion inducer.

In a rat model of intermittent claudication, the distance walked by a rat on a tread mill was measured before and after ligation of one femoral artery. For rats treated with 10 mg/kg of (S)-N-(1-(2-(4-fluorophenyl)eth- yl)pyrrolidin-3-yl)-1-adamantane carboxamide hydrochloride monohydrate orally for 8 days, the distance was, before intervention, 328.9 (326.6; 322.4) m; after the intervention 214.8 (211.5; 221.4) m less than before the intervention and after 8 days treatment, 89.9 (192.0, 149.8) m less than before the intervention; (figures in brackets are results for control animals given vehicle only and for comparison animals given the known compound Cilostazol (100 mg/kg)).

USE - (I) are antithrombotics and medicines for treating arteriosclerosis, and improving peripheral circulation (claimed). (I) Are used to treat chronic arterial obstruction, intermittent claudication, ischemic heart disease, cerebrovascular disorders, migraine, diabetic neuropathy, nerve pain following shingles, glaucoma, dry eye, xerophthalmia and dry dermatitis.

ABSTRACTED-PUB-NO: WO 200026186A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B03
CPI-CODES: B07-D03; B14-C03; B14-F01E; B14-F04; B14-F07; B14-J01; B14-J04; B14-N03; B14-N10; B14-N17C;

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments

KWIC | Draw Desc | Clip Img | Image

☐ 19. Document ID: US 6369061 B1 WO 200012091 A1 AU 9954456 A EP 1123704 A1 JP 2000567208 X KR 2001086361 A CN 1325307 A

L11: Entry 19 of 28 File: DWPI Apr 9, 2002

DERWENT-ACC-NO: 2000-237763
DERWENT-WEEK: 200227

TITLE: Agents for treating spinal canal stenosis comprise pyridazinone compound

INVENTOR: AKIRA, T; KAWAMURA, T ; KIDO, H ; MARUYAMA, T ; NAKAMURA, N

PATENT-ASSIGNEE: NISSAN CHEM IND LTD (NISC), YOSHITOMI PHARM IND KK (YOSH), MORIFUKU CO LTD (MORIN), WELFIDE CORP (WELFN), MITSUBISHI PHARMA CORP (MITSN)

PRIORITY-DATA: 1998JP-0246886 (September 1, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 6369061 B1	April 9, 2002		000	A61K031/501
WO 200012091 A1	March 9, 2000	J	021	A61K031/50
AU 9954456 A	March 21, 2000		000	A61K031/50
EP 1123704 A1	August 16, 2001	E	000	A61K031/50
JP 2000567208 X	November 6, 2001		000	A61K031/501
KR 2001086361 A	September 10, 2001		000	A61K031/501
CN 1325307 A	December 5, 2001		000	A61K031/50

DESIGNATED-STATES: AE AL AM AT AU AZ BA BB BG BR BY CA CH CN CR CU CZ DE DK DM EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KR KZ LC LK LR LS LT LU LV MD MG MK MN MW MX NO NZ PL PT RO RU SD SE SG SI SK SL TJ TM TR TT UA UG US UZ VN YU ZA ZW AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW NL OA PT SD SE SL SZ UG ZW AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT RO SE SI

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
US 6369061B1	August 30, 1999	1999WO-JP04690	
US 6369061B1	March 21, 2001	2001US-0786050	
US 6369061B1		WO 200012091	Based on
WO 200012091A1	August 30, 1999	1999WO-JP04690	
AU 9954456A	August 30, 1999	1999AU-0054456	
AU 9954456A		WO 200012091	Based on
EP 1123704A1	August 30, 1999	1999EP-0940567	
EP 1123704A1	August 30, 1999	1999WO-JP04690	
EP 1123704A1		WO 200012091	Based on
JP2000567208X	August 30, 1999	1999WO-JP04690	
JP2000567208X	August 30, 1999	2000JP-0567208	
JP2000567208X		WO 200012091	Based on
KR2001086361A	February 28, 2001	2001KR-0702665	
CN 1325307A	August 30, 1999	1999CN-0812837	

INT-CL (IPC): A61 K 31/50; A61 K 31/501; A61 P 19/08; C07 D 401/12; C07 D 401:12

ABSTRACTED-PUB-NO: US 6369061B
BASIC-ABSTRACT:

NOVELTY - Agents for treating spinal canal stenosis comprises a pyridazinone compound (I).

DETAILED DESCRIPTION - Agents for treating spinal canal stenosis comprise a pyridazinone compound of formula (I) or its salt:

R1-R3 = H or lower alkyl;

X = halo, CN or H;

Y = halo, CF3 or H; and

A = optionally hydroxylated 1-8C alkylene.

ACTIVITY - In a rabbit model of spinal canal stenosis neurotransmission speed after administration of 30 mg/kg of 4-bromo-6-(3-(4-chlorophenyl)pr-opoxy)-5-(3-pyridylmethylamino)-3-(2H)-pyridazinone (Ia) was 27.09 cm/msec compared to 9.710, 29.237 and 14.585 cm/msec respectively for a control, normal rabbits, and 300 mg/kg of cilostazol.

MECHANISM OF ACTION - None given.

USE - For treating spinal canal stenosis.

ABSTRACTED-PUB-NO: WO 200012091A
EQUIVALENT-ABSTRACTS:

NOVELTY - Agents for treating spinal canal stenosis comprises a pyridazinone compound (I).

DETAILED DESCRIPTION - Agents for treating spinal canal stenosis comprise a pyridazinone compound of formula (I) or its salt:

R1-R3 = H or lower alkyl;

X = halo, CN or H;

Y = halo, CF3 or H; and

A = optionally hydroxylated 1-8C alkylene.

ACTIVITY - In a rabbit model of spinal canal stenosis neurotransmission speed after administration of 30 mg/kg of 4-bromo-6-(3-(4-chlorophenyl)pr-opoxy)-5-(3-pyridylmethylamino)-3-(2H)-pyridazinone (Ia) was 27.09 cm/msec compared to 9.710, 29.237 and 14.585 cm/msec respectively for a control, normal rabbits, and 300 mg/kg of cilostazol.

MECHANISM OF ACTION - None given.

USE - For treating spinal canal stenosis.

CHOSEN-DRAWING: Dwg.0/3

DERWENT-CLASS: B03
CPI-CODES: B07-D04C; B07-D10; B14-J01A;

☐ 20. Document ID: JP 10067657 A WO 9748382 A2 AU 9729768 A

L11: Entry 20 of 28 File: DWPI Mar 10, 1998

DERWENT-ACC-NO: 1998-062835
DERWENT-WEEK: 199820

TITLE: Multiple unit type prolonged action formulation of cilostazol - containing at least 2 small tablets of sustained release composition comprising cilostazol and hydroxy-propyl-methyl cellulose

INVENTOR: KIMURA, Y; KOIKE, M ; MUKAI, T ; NAKAMURA, T

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA)

PRIORITY-DATA: 1996JP-0156718 (June 18, 1996)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 10067657 A	March 10, 1998		011	A61K031/41
WO 9748382 A2	December 24, 1997	E	032	A61K009/16
AU 9729768 A	January 7, 1998		000	A61K009/16

DESIGNATED-STATES: AU BR CA CN KR MX SG US AT BE CH DE DK ES FI FR GB GR IE IT LU MC

NL PT SE

CITED-DOCUMENTS:No-SR.Pub

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP10067657A	June 18, 1997	1997JP-0161411	
WO 9748382A2	May 29, 1997	1997WO-JP01836	
AU 9729768A	May 29, 1997	1997AU-0029768	
AU 9729768A		WO 9748382	Based on

INT-CL (IPC): A61 K 9/16; A61 K 9/22; A61 K 9/48; A61 K 31/41; A61 K 31/47; A61 K 47/38; C07 D 401/12; C07 D 215:22; C07 D 257:04; C07 D 401/12

ABSTRACTED-PUB-NO: WO 9748382A
BASIC-ABSTRACT:

A multiple unit type prolonged action drug formulation contains at least 2 small sustained release tablets comprises 6-(4-(1-cyclohexyl-1H -tetrazol-5-yl)butoxy)-3,4-dihydrocarbostyril (cilostazol) (I) and hydroxypropylmethyl cellulose (HPMC).

USE - (I) is used e.g. as an antithrombotic agent, antiinflammatory agent, antiulcerative agent, hypotensive agent, antiasthmatic agent and phosphodiesterase inhibitor.

ADVANTAGE - Side effects (e.g. headache) caused by high blood concentratio n of (I) due to rapid absorption, can be reduced using the sustained release formulation.

ABSTRACTED-PUB-NO: WO 9748382A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: A96 B02 B07
CPI-CODES: A03-A04A1; A12-V01; B04-C02A2; B06-D02; B12-M10A; B14-C03; B14-D07A; B14-E08; B14-F02B; B14-F04; B14-K01A;

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Search Results - Record(s) 21 through 28 of 28 returned.

☐ 21. Document ID: JP 08268891 A

L11: Entry 21 of 28 File: DWPI Oct 15, 1996

DERWENT-ACC-NO: 1996-514905
DERWENT-WEEK: 199651

TITLE: Therapeutic agent for bradyarrhythmia - contains carbostyril deriv., esp. cilostazol

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA)

PRIORITY-DATA: 1995JP-0072985 (March 30, 1995)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 08268891 A	October 15, 1996		005	A61K031/47

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP08268891A	March 30, 1995	1995JP-0072985	

INT-CL (IPC): A61 K 31/47; C07 D 401/12; C07 D 215:22; C07 D 257:04; C07 D 401/12

ABSTRACTED-PUB-NO: JP08268891A
BASIC-ABSTRACT:

Agents for treating bradyarrhythmia contain as active ingredient carbostyril derivs. of formula (I) or their salts. A = lower alkylene; and R = cycloalkyl.

USE - (I) is useful in treatment of bradyarrhythmia, including sino-bradyc ardia, sinus arrest, sino-artrial block, atrioventricular block and bradyarrhythmic atrial fibrillation, esp. sinus failure syndrome with no side-effect and also for intra-atrial thrombus derived from bradyarrhythmia.

ABSTRACTED-PUB-NO: JP08268891A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B02
CPI-CODES: B06-D02; B14-F01A;

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Clip Img | Image

☐ 22. Document ID: CN 1168102 A WO 9621448 A1 AU 9643570 A JP 08245389 A EP 794778 A1 MX 9705185 A1 KR 98701292 A

L11: Entry 22 of 28 File: DWPI Dec 17, 1997

DERWENT-ACC-NO: 1996-342040
DERWENT-WEEK: 200166

TITLE: Resin particle comprising ethylene! vinyl! alcohol copolymer and cilostazol - are used to reduce maximum blood serum concn. of cilostazol, avoiding side effects

INVENTOR: HIGASHINO, R; IGUCHI, S ; KIMURA, Y ; NAKAGAWA, S ; NAKAMURA, T ; YAMADA, K ; YAMAMOTO, H ; YAMATO, M ; HAMAMOTO, R

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA), OTSUKA PHARM FACTORY INC (SAKA), OTSUKA SEIYAKU KOGYO KK (SAKA)

PRIORITY-DATA: 1995JP-0002287 (January 10, 1995)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
CN 1168102 A	December 17, 1997		000	A61K031/47
WO 9621448 A1	July 18, 1996	E	025	A61K031/47
AU 9643570 A	July 31, 1996		000	A61K031/47
JP 08245389 A	September 24, 1996		008	A61K031/47
EP 794778 A1	September 17, 1997	E	000	A61K031/47
MX 9705185 A1	October 1, 1997		000	A61K031/47
KR 98701292 A	May 15, 1998		000	A61K031/47

DESIGNATED-STATES: AU CA CN KR MX US AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE

CITED-DOCUMENTS:3.Jnl.Ref; CH 683673 ; JP 4005233 ; JP 4159224 ; JP 7076584 ; WO 9414444

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
CN 1168102A	January 4, 1996	1996CN-0191408	
WO 9621448A1	January 4, 1996	1996WO-JP00004	
AU 9643570A	January 4, 1996	1996AU-0043570	
AU 9643570A		WO 9621448	Based on
JP 08245389A	January 8, 1996	1996JP-0001025	
EP 794778A1	January 4, 1996	1996EP-0900177	
EP 794778A1	January 4, 1996	1996WO-JP00004	
EP 794778A1		WO 9621448	Based on
MX 9705185A1	July 9, 1997	1997MX-0005185	
KR 98701292A	January 4, 1996	1996WO-JP00004	
KR 98701292A	July 9, 1997	1997KR-0704681	
KR 98701292A		WO 9621448	Based on

INT-CL (IPC): A61 K 9/14; A61 K 9/16; A61 K 9/22; A61 K 31/47; A61 K 47/32; C07 D 401/12; C08 L 23/26; C07 D 215:22; C07 D 257:04; C07 D 401/12

ABSTRACTED-PUB-NO: WO 9621448A
BASIC-ABSTRACT:

Resin particle having a size not greater than 2000 microns, comprises an ethylene vinyl alcohol copolymer (I) and a cilostazol (II) incorporated in it. The cilostazol is present in amt. 5-90 wt.% based on total amt. of copolymer and cilostazol.

Also claimed are: (A) a medical material comprising (I) and 60-85 wt.% (II) based on total amt. of (I) and (II); and (B) a pharmaceutical preparation comprising the

resin particle or a mixt. of the resin particle and a pharmaceutically-available carrier.

USE - Cilostazol has high thrombocyto-aggregation inhibiting action as well as phosphodiesterase-inhibiting action, anti-ulcerative, depressive action and resolution action. (II) is widely used as an antithrombotic agent, cerebrovascular agent, antiinflammatory, antihypertensive, antiasthma agent and phosphodiesterase inhibitor.

ADVANTAGE - (II) is generally administered orally in tablet form, where the tablet rapidly disintegrates to release large amts. of (II) over a short time which can cause side effects such as headache, heavy head feeling and pain. The resin particle formulation provides continuous release of (II) over an extended period of time which avoids side effects.

ABSTRACTED-PUB-NO: WO 9621448A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: A17 A96 B02 B07
CPI-CODES: A10-E09B; A12-V01; B04-L03B; B06-D02; B14-C03; B14-D07A; B14-F02B; B14-F04; B14-K01A;

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw. Desc | Clip Img | Image

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☐ 23. Document ID: EP 682109 A4 WO 9417181 A1 AU 9458916 A JP 06516875 X EP 682109 A1 AU 669969 B US 5728563 A

L11: Entry 23 of 28 File: DWPI Jan 1, 1997

DERWENT-ACC-NO: 1994-264095
DERWENT-WEEK: 199842

TITLE: New cyclic nucleotidase isolated from rat brain - is useful for screening new inhibitor drugs

INVENTOR: TANAKA, T

PATENT-ASSIGNEE: TAISHO PHARM CO LTD (TAIS)

PRIORITY-DATA: 1993JP-0013150 (January 29, 1993)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
EP 682109 A4	January 1, 1997		000	C12N009/16
WO 9417181 A1	August 4, 1994	J	026	C12N009/16
AU 9458916 A	August 15, 1994		000	C12N009/16
JP 06516875 X	March 2, 1995		000	C12N009/16
EP 682109 A1	November 15, 1995	E	011	C12N009/16
AU 669969 B	June 27, 1996		000	C12N009/16
US 5728563 A	March 17, 1998		009	C12N009/14

DESIGNATED-STATES: AU CA JP KR US AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE AT BE CH DE DK ES FR GB GR IE IT LI LU MC NL PT SE

CITED-DOCUMENTS:2.Jnl.Ref; WO 9218541

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
EP 682109A4		1994EP-0905225	
WO 9417181A1	January 28, 1994	1994WO-JP00121	
AU 9458916A	January 28, 1994	1994AU-0058916	
AU 9458916A		WO 9417181	Based on
JP06516875X	January 28, 1994	1994JP-0516875	
JP06516875X	January 28, 1994	1994WO-JP00121	
JP06516875X		WO 9417181	Based on
EP 682109A1	January 28, 1994	1994EP-0905225	
EP 682109A1	January 28, 1994	1994WO-JP00121	
EP 682109A1		WO 9417181	Based on
AU 669969B	January 28, 1994	1994AU-0058916	
AU 669969B		AU 9458916	Previous Publ.
AU 669969B		WO 9417181	Based on
US 5728563A	January 28, 1994	1994WO-JP00121	
US 5728563A	June 21, 1995	1995US-0481442	
US 5728563A		WO 9417181	Based on

INT-CL (IPC): C12 N 9/14; C12 N 9/16; C12 P 1/00; C12 P 19/30

ABSTRACTED-PUB-NO: US 5728563A
BASIC-ABSTRACT:

A new cyclic nucleotidase has the following properties; (1) it converts cAMP to 5'-AMP and cGMP to 5'-GMP; (2) substrate specificity: Km is 0.11 microM for cAMP and 1.78microM for cGMP; (3) the optimum pH for cAMP hydrolysis is 10; (4) the working temp. range is 30-37 deg. C; all activity is lost afer 10 mins. at 60 deg. C; (5) influence of inhibitors; the 50% inhibition concn. is greater than 300microM for nicardipine, SKF-94120, Ro-20-1724, rolipram or cilostazol, Ca-calmodulin and cGMP have no inhibitory activity on cAMP hydrolysis, (6) influence of metal ions: Mg ions produce greatly increased enzyme activity; (7) the mol. wt. is 298000 (+/- 8,000) by gel filtration on Sepharose 12 HR 10/30.

Animal tissue (esp. rat brain) is homogenised and the cyclic nucleotidase sepd. on an ion-exchange column contg. Mono-Q-HR.

USE - The new enzyme is useful for screening of new drugs.

ABSTRACTED-PUB-NO: WO 9417181A
EQUIVALENT-ABSTRACTS:

A new cyclic nucleotidase has the following properties; (1) it converts cAMP to 5'-AMP and cGMP to 5'-GMP; (2) substrate specificity: Km is 0.11 microM for cAMP and 1.78microM for cGMP; (3) the optimum pH for cAMP hydrolysis is 10; (4) the working temp. range is 30-37 deg. C; all activity is lost afer 10 mins. at 60 deg. C; (5) influence of inhibitors; the 50% inhibition concn. is greater than 300microM for nicardipine, SKF-94120, Ro-20-1724, rolipram or cilostazol, Ca-calmodulin and cGMP have no inhibitory activity on cAMP hydrolysis, (6) influence of metal ions: Mg ions produce greatly increased enzyme activity; (7) the mol. wt. is 298000 (+/- 8,000) by gel filtration on Sepharose 12 HR 10/30.

Animal tissue (esp. rat brain) is homogenised and the cyclic nucleotidase sepd. on an ion-exchange column contg. Mono-Q-HR.

USE - The new enzyme is useful for screening of new drugs.

CHOSEN-DRAWING: Dwg.0/7 Dwg.4/4

DERWENT-CLASS: B04 D16
CPI-CODES: B04-L05A; B12-K04; D05-A02B; D05-H13;

☐ 24. Document ID: JP 06199842 A

L11: Entry 24 of 28 File: DWPI Jul 19, 1994

DERWENT-ACC-NO: 1994-269428
DERWENT-WEEK: 199433

TITLE: New pyridazinone deriv. - has platelet aggregation and phospho diesterase inhibition effect without side effects

PATENT-ASSIGNEE: NIPPON SODA CO (NIPS)

PRIORITY-DATA: 1992JP-0351264 (December 7, 1992)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 06199842 A	July 19, 1994		019	C07D403/06

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP06199842A	December 7, 1992	1992JP-0351264	

INT-CL (IPC): A61K 31/50; C07D 403/06; C07D 209/00; C07D 237/00; C07D 403/06

ABSTRACTED-PUB-NO: JP06199842A
BASIC-ABSTRACT:

Pyridazinone derivs. of formula (I) and the complexes are new, where R1 = H or lower alkyl, broken line - single or double bond, R2 and R3 = H or lower alkyl, or R2+R3 = (CH2)n (n = 2-5).

(I) is prepd. by reacting cpd. of formula (II) (where R4 = H or lower alkyl) with H2NNH2 and opt. dehydrogenating.

The reaction is carried out pref. in an inert solvent (e.g. MeOH, EtOH, ethylene glycol, THF, diglyme, DMF) at room temp. to 200 deg.C. Dehydrogenating reagent includes chlorosulphonic acid, SeO2, 3-nitro-benze nesulphonic acid, DDQ etc.

USE/ADVANTAGE - (I) exhibits inhibitory effects on platelet aggregation and phosphodiesterase (PDE) without side effects. (I) is useful for the treatment of thrombosis, asthma, bronchitis, hypertension, ulcer, diabetes, cancer etc.

In an example, 6-(3,3-dimethyl-2-oxo-2,3-dihydro-1H-indol-5-yl) -3-methyl-4-oxo-5-hexenoic acid (0.93 g.) and 98% hydrazine hydrate (0.16 g.) were suspended in EtOH, refluxed for 1.5 hrs., cooled and evaporated in vacuo. The residual oil was chromatographed on silica gel (CHCl3/MeOH = 95/5) to give 0.25 g. of (E) 4,5-dihydro-5-((3,3- dimethyl-2-oxo-2,3-dihydro)-1H-indol-5-yl)ethenyl)-5-methyl-3(2H)-pyridaz inone having m.pt. 273-278 deg.C. EC50 of cpd. (4) against ADP-induced platelet aggregation in a rabbit was 3.1 microM while that of Cilostazol was 10.2 microM.

ABSTRACTED-PUB-NO: JP06199842A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B02
CPI-CODES: B06-D01; B14-D07A; B14-E08; B14-F02B; B14-F04; B14-F09; B14-G02A;

B14-H01; B14-K01;

☐ 25. Document ID: WO 9414444 A1 AU 9457159 A JP 06239745 A TW 235241 A

L11: Entry 25 of 28 File: DWPI Jul 7, 1994

DERWENT-ACC-NO: 1994-234327
DERWENT-WEEK: 199428

TITLE: Psoriasis remedy - contg. (cycloalkyl tetrazolyl) alkoxy carbostyril, its di:hydro deriv. or salt

INVENTOR: KAWAMURA, Y; KITAZAWA, T ; KUROKAWA, I

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA), OTSUKA SEIYAKU KKTD (SAKA)

PRIORITY-DATA: 1992JP-0343986 (December 24, 1992)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
WO 9414444 A1	July 7, 1994	J	026	A61K031/47
AU 9457159 A	July 19, 1994		000	A61K031/47
JP 06239745 A	August 30, 1994		006	A61K031/47
TW 235241 A	December 1, 1994		000	A61K031/47

DESIGNATED-STATES: AU CA KR US AT BE CH DE DK ES FR GB GR IE IT LU MC NL PT SE

CITED-DOCUMENTS:JP56045414; JP56049378

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
WO 9414444A1	December 24, 1993	1993WO-JP01871	
AU 9457159A	December 24, 1993	1994AU-0057159	
AU 9457159A		WO 9414444	Based on
JP06239745A	December 22, 1993	1993JP-0323853	
TW 235241A	December 29, 1993	1993TW-0111135	

INT-CL (IPC): A61K 31/47; C07D 401/12

ABSTRACTED-PUB-NO: WO 9414444A
BASIC-ABSTRACT:

Psoriasis remedy comprises a cpd. of formula (I) or its salt; and a adjuvants. A = lower alkylene; R = cycloalkyl; the dotted line represents an optional double bond between 3 and 4 of the carbostyril skeleton.

Dose is 0.6-50 mg/kg/day: A single dose pref. contains 10-1000 mg. It is given in the form of a pill or injectable solns. spray for nose or mouth; ointment.

(I) is 6-(4-(1-cyclohexyl -1,2,3,4- tetrazol-5-yl) butoxy)-3,4-dihydro carbostyril (IA) 'Cilostazol'.

USE/ADVANTAGE - (I) is known as an agent to control platelet aggregation. It is used to treat psoriasis vulgaris, wet psoriasis, pustular eczema, psoriasis erythematosus, psoriasis simplex, and so on. The treatment is effective and produces fewer side effects than treatment with steroids.

ABSTRACTED-PUB-NO: WO 9414444A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/3

DERWENT-CLASS: B02
CPI-CODES: B06-D02; B14-N17C;

☐ 26. Document ID: JP 05220213 A

L11: Entry 26 of 28 File: DWPI Aug 31, 1993

DERWENT-ACC-NO: 1993-308374
DERWENT-WEEK: 199339

TITLE: Artificial blood vessel - contains water-soluble chitosan deriv., retaining high patency after grafting

PATENT-ASSIGNEE: NIPPON SODA CO (NIPS)

PRIORITY-DATA: 1992JP-0058845 (February 13, 1992)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 05220213 A	August 31, 1993		004	A61L027/00

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP05220213A	February 13, 1992	1992JP-0058845	

INT-CL (IPC): A61F 2/06; A61L 27/00; A61L 33/00

ABSTRACTED-PUB-NO: JP05220213A
BASIC-ABSTRACT:

Artificial blood vessel contains a water-soluble chitosan deriv(s).. Pref. the deriv. contains a drug having antithrombogenic action.

The deriv. is typically prepd. by etherifying the chitosan with an alkylene oxide(s) in the presence of an alkali, esp. hydroxypropyl or hydroxypropyl-hydroxybutyl chitosan of an average addn. mole number of at least one. The content of the deriv(s). to the wt. of the vessel is usually 0.01-1.0, pref. 0.05-0.5. Anti-thrombogenic drugs include heparin, warfarin, dilazep, eicosa-pentaenoic acid, pentoxiphyllin, cilostazol, prostacycline dipyridamole and triclopidine. The content of the drug(s) is usually 2.4-24 x 10 power(-7), pref. 0.24-24 x 10 power(-5), by wt.. Monopolysaccharides, collagen and hyaluronic acids are opt. added.

USE/ADVANTAGE - The vessel has high patency. Typically no thrombosis was formed in a prepd. sample two months after graft

ABSTRACTED-PUB-NO: JP05220213A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: A96 B04 D22 P32 P34
CPI-CODES: A10-E01; A12-V02; B04-C02E3; B11-C04A; B12-H02; D09-C01B;

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

☐ 27. Document ID: US 5762944 A WO 9307217 A1 SE 9301719 A TW 203067 A AU 9226637 A EP 559911 A1 GB 2266892 A JP 05506774 X DE 4293170 T CH 683673 A5 AU 649885 B EP 559911 A4 GB 2266892 B EP 559911 B1 MX 184478 B

L11: Entry 27 of 28 File: DWPI Jun 9, 1998

DERWENT-ACC-NO: 1993-134418
DERWENT-WEEK: 199830

TITLE: Antithrombotic resin which releases antithrombotic agent into blood - comprising polyurethane or polyurethane:urea! and antithrombotic agent, released over prolonged period

INVENTOR: FUKUOKA, K; HAYASHI, S ; INOUE, F ; IZUMI, M ; TSUTSUMI, N ; INOE, F

PATENT-ASSIGNEE: NISSHINBO IND INC (NISN), OTSUKA PHARM CO LTD (SAKA), OTSUKA PHARM FACTORY INC (SAKA), NISSHIN BOSEKI KKORY INC (NISN), OTSUKA SEIYAKU KKORY INC (SAKA)

PRIORITY-DATA: 1992JP-0139389 (May 29, 1992), 1991JP-0253942 (October 1, 1991)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
US 5762944 A	June 9, 1998		000	A61L033/00
WO 9307217 A1	April 15, 1993	J	042	C08L075/08
SE 9301719 A	May 19, 1993		000	C08L075/08
TW 203067 A	April 1, 1993		000	C08G065/00
AU 9226637 A	May 3, 1993		000	C08L075/08
EP 559911 A1	September 15, 1993	E	028	C08L075/08
GB 2266892 A	November 17, 1993		040	C08L075/08
JP 05506774 X	October 7, 1993		012	C08L075/08
DE 4293170 T	November 18, 1993		000	C08L075/00
CH 683673 A5	April 29, 1994		000	A61K047/34
AU 649885 B	June 2, 1994		000	A61L027/00
EP 559911 A4	November 10, 1993		000	C08L075/08
GB 2266892 B	April 17, 1996		000	C08L075/08
EP 559911 B1	November 26, 1997	E	026	C08G018/48
MX 184478 B	April 18, 1997		000	C08L075/008

DESIGNATED-STATES: AU CA CH DE GB JP KR SE US AT BE CH DE DK ES FR GB GR IE IT LU MC NL SE FR IT FR IT

CITED-DOCUMENTS:DE 2812174; GB 1602163 ; JP50139173 ; JP53117281 ; JP54135493 ; JP58092363 ; JP61168365 ; JP61204219 ; JP64015058 ; US 4353996 ; 1.Jnl.Ref ; DE 3239318 ; DE 3341847 ; EP 335308 ; EP 404517 ; JP61168269

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
US 5762944A	April 12, 1993	1993US-0039164	Cont of
US 5762944A	January 23, 1995	1995US-0376431	
WO 9307217A1	September 28, 1992	1992WO-JP01240	
SE 9301719A	September 28, 1992	1992WO-JP01240	
SE 9301719A	May 19, 1993	1993SE-0001719	
TW 203067A	September 30, 1992	1992TW-0107783	
AU 9226637A	September 28, 1992	1992AU-0026637	
AU 9226637A		WO 9307217	Based on
EP 559911A1	September 28, 1992	1992EP-0920375	
EP 559911A1	September 28, 1992	1992WO-JP01240	
EP 559911A1		WO 9307217	Based on
GB 2266892A	September 28, 1992	1992WO-JP01240	
GB 2266892A	May 27, 1993	1993GB-0011001	
GB 2266892A		WO 9307217	Based on
JP05506774X	September 28, 1992	1992WO-JP01240	
JP05506774X	September 28, 1992	1993JP-0506774	
JP05506774X		WO 9307217	Based on
DE 4293170T	September 28, 1992	1992DE-4293170	
DE 4293170T	September 28, 1992	1992WO-JP01240	
DE 4293170T		WO 9307217	Based on
CH 683673A5	September 28, 1992	1992WO-JP01240	
CH 683673A5	September 28, 1992	1993CH-0001648	
CH 683673A5		WO 9307217	Based on
AU 649885B	September 28, 1992	1992AU-0026637	
AU 649885B		AU 9226637	Previous Publ.
AU 649885B		WO 9307217	Based on
EP 559911A4		1992EP-0920375	
GB 2266892B	September 28, 1992	1992WO-JP01240	
GB 2266892B	May 27, 1993	1993GB-0011001	
GB 2266892B		WO 9307217	Based on
EP 559911B1	September 28, 1992	1992EP-0920375	
EP 559911B1	September 28, 1992	1992WO-JP01240	
EP 559911B1		WO 9307217	Based on
MX 184478B	September 30, 1992	1992MX-0005622	

INT-CL (IPC): A61 F 2/06; A61 K 31/70; A61 K 47/34; A61 L 27/00; A61 L 27/000; A61 L 33/00; A61 M 1/02; C08 G 18/48; C08 G 65/00; C08 J 5/18; C08 L 75/00; C08 L 75/008; C08 L 75/02; C08 L 75/08; C09 D 175/00; C09 D 175/02; C09 D 175/08

ABSTRACTED-PUB-NO: EP 559911B
BASIC-ABSTRACT:

An antithrombotic resin comprises polyurethane or polyurethaneurea and one or more antithrombotic agent. Polyurethane or polyurethanurea is prepd. by polymerising a polyetherdiol selected from among polyols contg. a polyoxyethylene gp. formula (-CH2CH20)-m (I), and polyols contg. a polyoxytetramethylene gp. formula (-CH2CH2CH20)-m (II) where n and m are independently number average degrees of polymerisation with values of 1 to 100.

The polyetherdiol pref. comprises two or more polyols contg. 2 polyoxyethylene gp. of formula (I) or a polyol contg. a polyoxyethylene gp. of formula (I) and a polyol contg. a polyoxytetramethylene gp. formula (II).

The pref. polyetherdiol is polyoxyethyleneglycol, polyoxytetramethyle neglycol or a combination of polyoxyethyleneglycol and polyoxytetramethyle neglycol. The amt. of the antithrombotic agent contd. in the resin is pref. 0.1-50 wt. % of the amt. of

polyurethane or polyurethanurea or combined amt. of polyurethane and polyurethanurea. The pref. antithrombotic agent is silostazole, HC1-cyclopirizine or a limaprost alpha-cyclodextrine cpd. The antithrombotic resin can be shaped into a tube or film. The antithrombotic resin can be coated on the surface of a medical equipment.

USE/ADVANTAGE - The resin can release the antithrombotic agent into blood at a high concn. for a prolonged period. Antithrombotic tubes, films and coatings made from this resin are useful as artificial organisms, artificial blood vessels and trans blood equipmentK

ABSTRACTED-PUB-NO: GB 2266892B
EQUIVALENT-ABSTRACTS:

An antithrombotic resin comprises polyurethane or polyurethaneurea and one or more antithrombotic agent. Polyurethane or polyurethanurea is prepd. by polymerising a polyetherdiol selected from among polyols contg. a polyoxyethylene gp. formula (-CH2CH20)-m (I), and polyols contg. a polyoxytetramethylene gp. formula (-CH2CH2CH20)-m (II) where n and m are independently number average degrees of polymerisation with values of 1 to 100.

The polyetherdiol pref. comprises two or more polyols contg. 2 polyoxyethylene gp. of formula (I) or a polyol contg. a polyoxyethylene gp. of formula (I) and a polyol contg. a polyoxytetramethylene gp. formula (II).

The pref. polyetherdiol is polyoxyethyleneglycol, polyoxytetramethyle neglycol or a combination of polyoxyethyleneglycol and polyoxytetramethyle neglycol. The amt. of the antithrombotic agent contd. in the resin is pref. 0.1-50 wt. % of the amt. of polyurethane or polyurethanurea or combined amt. of polyurethane and polyurethanurea. The pref. antithrombotic agent is silostazole, HC1-cyclopirizine or a limaprost alpha-cyclodextrine cpd. The antithrombotic resin can be shaped into a tube or film. The antithrombotic resin can be coated on the surface of a medical equipment.

USE/ADVANTAGE - The resin can release the antithrombotic agent into blood at a high concn. for a prolonged period. Antithrombotic tubes, films and coatings made from this resin are useful as artificial organisms, artificial blood vessels and trans blood equipmentK

An antithrombotic resin comprising polyurethane or polyurethane urea containing at least one antithrombotic selected from cilostazol, ticlopidine hydrochloride and limaprost alpha-cyclodextrin clathrate, and the polyurethane or polyurethane urea being polymerised by using a polyether diol containing polyoxyethylene repeating groups of the formula; -(CH2CH2O)- (I) and/or polyoxytetramethylene repeating groups of the formula -(CH2CH2CH2CH2O)- (II) the polyether diol having a molecular weight of form 400 to 3500.

US 5762944A

An antithrombotic resin comprises polyurethane or polyurethaneurea and one or more antithrombotic agent. Polyurethane or polyurethanurea is prepd. by polymerising a polyetherdiol selected from among polyols contg. a polyoxyethylene gp. formula (-CH2CH20)-m (I), and polyols contg. a polyoxytetramethylene gp. formula (-CH2CH2CH20)-m (II) where n and m are independently number average degrees of polymerisation with values of 1 to 100.

The polyetherdiol pref. comprises two or more polyols contg. 2 polyoxyethylene gp. of formula (I) or a polyol contg. a polyoxyethylene gp. of formula (I) and a polyol contg. a polyoxytetramethylene gp. formula (II).

The pref. polyetherdiol is polyoxyethyleneglycol, polyoxytetramethyle neglycol or a combination of polyoxyethyleneglycol and polyoxytetramethyle neglycol. The amt. of the antithrombotic agent contd. in the resin is pref. 0.1-50 wt. % of the amt. of polyurethane or polyurethanurea or combined amt. of polyurethane and polyurethanurea. The pref. antithrombotic agent is silostazole, HC1-cyclopirizine or

a limaprost alpha-cyclodextrine cpd. The antithrombotic resin can be shaped into a tube or film. The antithrombotic resin can be coated on the surface of a medical equipment.

USE/ADVANTAGE - The resin can release the antithrombotic agent into blood at a high concn. for a prolonged period. Antithrombotic tubes, films and coatings made from this resin are useful as artificial organisms, artificial blood vessels and trans blood equipmentK

WO 9307217A

CHOSEN-DRAWING: Dwg.0/0 Dwg.0/4

DERWENT-CLASS: A25 A96 B07 D22 P32 P34
CPI-CODES: A05-G03; A05-H03; A05-H05; A05-J04; A12-V03B; B04-C02B1; B04-C03D; B12-H02; B12-M10A; D09-C05;

☐ 28. Document ID: JP 04159224 A JP 94053666 B2

L11: Entry 28 of 28 File: DWPI Jun 2, 1992

DERWENT-ACC-NO: 1992-231885
DERWENT-WEEK: 199228

TITLE: Agent for treating neuropathy and sensory nerve disorders caused by diabetes - contains 6-(4-(1-cyclohexyltetrazol-5-yl)butoxy)-3,4-di:hydr o:carbostyril

PATENT-ASSIGNEE: OTSUKA PHARM CO LTD (SAKA)

PRIORITY-DATA: 1990JP-0285591 (October 22, 1990)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
JP 04159224 A	June 2, 1992		004	A61K031/47
JP 94053666 B2	July 20, 1994		003	A61K031/47

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
JP04159224A	October 22, 1990	1990JP-0285591	
JP94053666B2	October 22, 1990	1990JP-0285591	
JP94053666B2		JP 4159224	Based on

INT-CL (IPC): A61K 31/47; C07D 401/12

ABSTRACTED-PUB-NO: JP04159224A
BASIC-ABSTRACT:

New treatment agent for neuropathy and sensory nerve disorder caused by diabetes comprises cilostazol (scientific name: 6-(4-(1-cyclohexyltetra zol -5-yl) butoxy)-3,4 -dihydrocarostyryl) as effective substance.

The agent is formulated into oral agent such as tablets, capsules and granules, and parenteral agents such as injection and suppositories. Daily dose for an adult is 100-800 mg several times. Pref. amt. of effective substance is 50-200 mg in a admin. form.

USE/ADVANTAGE - Cilostazol is effective for treating neuropathy and sensory nerve

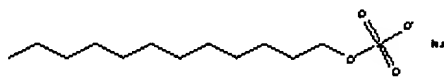
disorder caused by diabetes. Diabetic patients (20 persons) took cilostazol (200 mg/day) for 12 weeks, resulting in remarkable improvement in numbness.

ABSTRACTED-PUB-NO: JP04159224A
EQUIVALENT-ABSTRACTS:

CHOSEN-DRAWING: Dwg.0/0

DERWENT-CLASS: B03
CPI-CODES: B07-D13; B12-C03; B12-C10;

Sodium dodecyl sulfate [151-21-3]
Synonyms: p and g emulsifier 104; akyposal sds; aquarex me; aquarex methyl; avirol 101; avirol 118; berol 452; carsonol sls; carsonol sls paste b; carsonol sls special; conco sulfate wa; conco sulfate wa-1200; conco sulfate wa-1245; conco sulfate wag; conco sulfate wan; conco sulfate was; conco sulfate wn; cycloryl 21; cycloryl 31; cycloryl 580; cycloryl 585n; dehag sulfate gl emulsion; detergent 66; Dreft; dupanal; duponal waqe; duponol; duponol c; duponol me; duponol methyl; duponol qx; duponol wa; duponol waq; duponol waqa; duponol waqm; emal 10; Emal o; emersal 6400; empicol lpz; empicol ls 30; empicol lx 28; emulsifier no. 104; finasol osr (sub 2); gardinol; hexamol sls; lrium; lanette wax-s; maprofix 563; maprofix lk; maprofix neu; maprofix wac; maprofix wac-la; melanol cl; melanol cl 30; monogen y 100; montopol la paste; neutrazyme; nikkol sls; odoripon al 95; orvus wa paste; perlandrol l; product no. 161; product no. 75; quolac ex-ub; rewopol nls 30; richonol a; richonol af; richonol c; SDS; sinnopon ls 100; sinnopon ls 95; sintapon l; sipex op; sipex sb; sipex sd; sipex sp; sipex ub; sipon ls; sipon ls 100; sipon lsb; sipon pd; sipon wd; SLS; Sodium dodecanesulfate; dodecyl alcohol, hydrogen sulfate, sodium salt; Sodium Laurylsulfate; Lauryl Sodium Sulfate; Dodecyl sodium sulfate; Sodium dodecyl sulfate; sodium monolauryl sulfate; monododecyl sodium sulfate; n-dodecyl sulfate sodium; Sulfuric acid monododecyl ester sodium salt; solsol needles; standapol 112; steinapol nls 90; stepanol me; sterling wa paste; sulfetal l 95; sulfopon wa 1; sulfotex wa; swascol 3l; syntapon; tarapon k 12; texapon DL; trepenol wa; tvm 474; ultra sulfate sl-1; WAQE;



Formula	$C_{12}H_{25}NaO_4S$	Molecular Weight	288.37687
CAS RN	151-21-3	Melting Point (°C)	204 - 207
ACX Number	X1001083-4	Boiling Point (°C)	
Density		Vapor Density	

h che f e c b ge f c e

Alkyl Sulfates

Product No.	Product Name	Formula	CAS	Chain	m.w.
16-5867-16	Pentyl Sodium Sulfate	$(CH_3(CH_2)_4OSO_2ONa)$	[556-76-3]	5	190.20
08-2940-16	Hexyl Sodium Sulfate	$(CH_3(CH_2)_5OSO_2ONa)$	[2207-98-9]	6	204.22
08-2993-16	Heptyl Sodium Sulfate	$(CH_3(CH_2)_6OSO_2ONa)$	[18981-98-1]	7	218.25
15-5607-16	Octyl Sodium Sulfate	$(CH_3(CH_2)_7OSO_2ONa)$	[2209-98-9]	8	232.28
14-5238-16	Nonyl Sodium Sulfate	$(CH_3(CH_2)_8OSO_2ONa)$	[1072-15-7]	9	246.31
04-1415-16	Decyl Sodium Sulfate	$(CH_3(CH_2)_9OSO_2ONa)$	[142-87-0]	10	260.33
19-6770-16	Undecyl Sodium Sulfate	$(CH_3(CH_2)_{10}OSO_2ONa)$	[1072-24-8]	11	276.36
12-4238-16	Lauryl Sodium Sulfate	$(CH_3(CH_2)_{11}OSO_2ONa)$	[556-76-3]	12	288.49
19-6769-16	Tridecyl Sodium Sulfate	$(CH_3(CH_2)_{12}OSO_2ONa)$	[3026-63-9]	13	302.52
19-6768-16	Tetradecyl Sodium Sulfate	$(CH_3(CH_2)_{13}OSO_2ONa)$	[1191-50-0]	14	316.54
16-5866-16	Pentadecyl Sodium Sulfate	$(CH_3(CH_2)_{14}OSO_2ONa)$	[13393-71-0]	15	330.47
03-0991-16	Cetyl Sodium Sulfate	$(CH_3(CH_2)_{15}OSO_2ONa)$	[1120-01-0]	16	344.50
08-2939-16	Heptadecyl Sodium Sulfate	$(CH_3(CH_2)_{16}OSO_2ONa)$	[5910-79-2]	17	358.53
15-5606-16	Octadecyl Sodium Sulfate	$(CH_3(CH_2)_{17}OSO_2ONa)$	[1120-04-3]	18	372.55
05-1808-16	Eicosyl Sodium Sulfate	$(CH_3(CH_2)_{19}OSO_2ONa)$	[13177-49-6]	20	400.61

Amyl: see **PENTYL** Dodecyl: see **LAURYL** Hexadecyl: see **CETYL**
Stearyl: see **OCTADECYL** Myristyl: see **TETRADECYL**

Above Items Available in 5, 10 and 50 gm. quantities

01-1988-16	Alkyl Sulfate Kit	*(1 gm each of C_5 thru C_{18})*	available in kit quantities

SOLUBILITY: Aklyl Sulfates C_5 thru C_{11} are soluble in H_2O.

Aklyl Sulfates C_{12} thru C_{22} are soluble in a 50:50 mixture of 70% Isopropyl alcohol and water.

Some warming may be required starting with C_{20}.

The 50:50 proportions appear quite critical, however mixtures may have to be varied +/- 10% to assure a clear solution.

This data does not preclude other solvent systems from being adopted.

We suggest crushing large "leaves" in a mortar to expedite solvency when using the Isopropyl/water mixture.